

We claim:

- 1 1. A sustained release tablet comprising:
2 gabapentin or a pharmaceutically acceptable salt or hydrate thereof; and
3 at least one rate- controlling polymer;
4 wherein the tablet provides therapeutically effective plasma levels of gabapentin for a
5 period of up to about 12 hours.
- 1 2. The sustained release tablet of claim 1, wherein the tablet exhibits the following in-vitro
2 dissolution profile when measured in a USP type II dissolution apparatus at 50 rpm, a
3 temperature of 37°C ±0.5°C in 900 ml of 0.06 N hydrochloric acid:
4 at most approximately 50% of the drug is released in 1 hour,
5 at most approximately 65% of the drug is released in 2 hours, and
6 at most approximately 85% of the drug is released in 4 hours.
- 1 3. The sustained release tablet of claim 1, wherein administering the tablet twice per day
2 provides comparable bioavailability with respect to a tablet or capsule containing
3 gabapentin administered three times per day under fasting conditions for similar
4 cumulative daily dose.
- 1 4. The sustained release tablet of claim 1, wherein the gabapentin comprises from about 100
2 mg to about 1200 mg by weight of the tablet.
- 1 5. The sustained release tablet of claim 1, wherein the rate-controlling polymer comprises
2 from about 5% to about 80% by weight of the tablet.
- 1 6. The sustained release tablet of claim 5, wherein the rate-controlling polymer comprises
2 from about 5% to about 70% by weight of the tablet.
- 1 7. The sustained release tablet of claim 6, wherein the rate-controlling polymer comprises
2 from about 5% to about 60% by weight of the tablet.
- 1 8. The sustained release tablet of claim 1, wherein the rate-controlling polymer comprises
2 one or more of polyvinylpyrrolidone, cellulosic polymer, vinylacetate copolymers,

3 alginate, xanthan gum, guar gum, starch and starch based polymers, polyethylene oxide,
4 methacrylic acid copolymers, maleic anhydride/methyl vinyl ether copolymers and
5 derivatives, ethyl cellulose, cellulose acetate, methacrylates, acrylic acid polymers and
6 copolymers, high molecular weight polyvinyl alcohols, and waxes.

1 9. The sustained release tablet of claim 8, wherein the rate-controlling polymer comprises a
2 cellulosic polymer.

1 10. The sustained release tablet of claim 9, wherein the cellulosic polymer comprises one or
2 more of hydroxypropyl methylcellulose, hydroxypropylcellulose, hydroxyethylcellulose,
3 and methylcellulose.

1 11. The sustained release tablet of claim 10, wherein the cellulosic polymer comprises
2 hydroxypropyl methylcellulose.

1 12. The sustained release tablet of claim 11, wherein the hydroxypropyl methylcellulose has a
2 viscosity of about 100 cps to about 100,000 cps.

1 13. The sustained release tablet of claim 12, wherein the hydroxypropyl methylcellulose has a
2 viscosity of about 4,000 cps to about 15,000 cps.

1 14. The sustained release tablet of claim 10, wherein the cellulosic polymer comprises
2 hydroxypropylcellulose.

1 15. The sustained release tablet of claim 14, wherein the hydroxypropylcellulose has a
2 viscosity of about 7 cps to about 30,000 cps.

1 16. The sustained release tablet of claim 15, wherein the hydroxypropylcellulose has a
2 viscosity of about 4000 cps to about 15,000 cps.

1 17. The sustained release tablet of claim 10, wherein the cellulosic polymer comprises
2 hydroxyethylcellulose.

- 1 18. The sustained release tablet of claim 1, further comprising one or more excipients,
2 wherein the excipients comprise one or more of diluents, lubricants, glidants, binders, and
3 stabilizers.
- 1 19. The sustained release tablet of claim 18, wherein the diluent comprises one or more of
2 powdered sugar, calcium phosphate, calcium sulfate, microcrystalline cellulose, lactose,
3 mannitol, kaolin, dry starch, and sorbitol.
- 1 20. The sustained release tablet of claim 18, wherein the lubricant comprises one or more of
2 talc, stearic acid, vegetable oil, calcium stearate, zinc stearate, and magnesium stearate.
- 1 21. The sustained release tablet of claim 18, wherein the glidant comprises one or more of
2 talc, silicon dioxide, and cornstarch.
- 1 22. The sustained release tablet of claim 18, wherein the binder comprises one or more of
2 polyvinylpyrrolidone, polyvinylpyrrolidone/vinylacetate copolymer, xanthan gum, guar
3 gum, cellulose gums, carboxymethylcellulose, methylcellulose, hydroxypropyl
4 methylcellulose, hydroxypropyl cellulose, gelatin, starch, and pregelatinized starch.
- 1 23. The sustained release tablet of claim 18, wherein the stabilizer comprises poloxamer.
- 1 24. The sustained release tablet of claim 1, wherein the tablet is configured to release the
2 gabapentin in the stomach.
- 1 25. The sustained release tablet of claim 1, wherein the tablet releases the gabapentin by a
2 combination of diffusion and erosion.
- 1 26. The sustained release tablet of claim 1, wherein the rate controlling polymer swells to
2 form a polymeric matrix after contact with fluid having properties of gastric fluids.
- 1 27. A process for the preparation of a sustained release tablet of gabapentin, the process
2 comprising:
3 granulating a mixture comprising gabapentin or a pharmaceutically acceptable salt or
4 hydrate thereof and at least one rate-controlling polymer with one or both of water and a
5 binder solution; and

6 compressing the granules into a tablet,
7 wherein the tablet provides therapeutically effective plasma levels of gabapentin for a
8 period of up to about 12 hours.

1 28. The process of claim 27, wherein the tablet exhibits the following in-vitro dissolution
2 profile when measured in a USP type II dissolution apparatus, at 50 rpm, a temperature of
3 $37^{\circ}\text{C} \pm 0.5^{\circ}\text{C}$ in 900 ml of 0.06 N hydrochloric acid:

4 at most about 50% of the drug is released in 1 hour,

5 at most about 65% of the drug is released in 2 hours, and

6 at most about 85% of the drug is released in 4 hours.

1 29. The process of claim 27, wherein administering the tablet twice per day provides
2 comparable bioavailability with respect to a tablet or capsule containing gabapentin
3 administered three times per day under fasting conditions for similar cumulative daily
4 dose.

1 30. The process of claim 27, wherein the rate-controlling polymer comprises from about 5%
2 to about 80% by weight of the tablet.

1 31. The process of claim 27, wherein the rate-controlling polymer comprises from about 5%
2 to about 60% by weight of the tablet.

1 32. The process of claim 27, wherein the rate-controlling polymer comprises one or more of
2 polyvinylpyrrolidone, cellulosic polymer, vinylacetate copolymers, alginate, xanthan gum,
3 guar gum, starch and starch based polymers, polyethylene oxide, methacrylic acid
4 copolymers, maleic anhydride/methyl vinyl ether copolymers and derivatives, ethyl
5 cellulose, cellulose acetate, methacrylates, acrylic acid polymers and copolymers, high
6 molecular weight polyvinyl alcohols, and waxes.

1 33. The process of claim 32, wherein the rate-controlling polymer comprises a cellulosic
2 polymer.

- 1 34. The process of claim 33, wherein the cellulosic polymer comprises one or more of
2 hydroxypropyl methylcellulose, hydroxypropylcellulose, hydroxyethylcellulose, and
3 methylcellulose.
- 1 35. The process of claim 34, wherein the cellulosic polymer comprises hydroxypropyl
2 methylcellulose having a viscosity of about 100 cps to about 100,000 cps.
- 1 36. The process of claim 34, wherein hydroxypropyl methylcellulose has a viscosity of about
2 4,000 cps to about 15,000 cps.
- 1 37. The process of claim 34, wherein the cellulosic polymer comprises
2 hydroxypropylcellulose having a viscosity of about 7 cps to about 30,000 cps.
- 1 38. The process of claim 37, wherein the hydroxypropylcellulose has a viscosity of about
2 4,000 cps to about 15,000 cps.
- 1 39. The process of claim 34, wherein the cellulosic polymer comprises hydroxyethylcellulose.
- 1 40. The process of claim 27, wherein the mixture further comprises one or more of diluent,
2 lubricant, glidant, binder, and stabilizer.
- 1 41. The process of claim 40, wherein the diluent comprises one or more of powdered sugar,
2 calcium phosphate, calcium sulfate, microcrystalline cellulose, lactose, mannitol, kaolin,
3 dry starch, and sorbitol.
- 1 42. The process of claim 40, wherein the lubricant comprises one or more of talc, stearic acid,
2 vegetable oil, calcium stearate, zinc stearate, and magnesium stearate.
- 1 43. The process of claim 40, wherein the glidant comprises one or more of talc, silicon
2 dioxide, and cornstarch.
- 1 44. The process of claim 40, wherein the binder comprises one or more of
2 polyvinylpyrrolidone, polyvinylpyrrolidone/vinylacetate copolymer, xanthan gum, guar
3 gum, cellulose gum, carboxymethylcellulose, methylcellulose, hydroxypropyl
4 methylcellulose, hydroxypropyl cellulose, gelatin, starch, and pregelatinized starch.

- 1 45. The process of claim 40, wherein the stabilizer comprises poloxamer.
- 1 46. The sustained release tablet of claim 27, wherein the rate controlling polymer swells to
2 form a polymeric matrix after contact with fluid having properties of gastric fluids.
- 1 47. A process for the preparation of a sustained release tablet of gabapentin, the process
2 comprising:
3 forming granules by granulating a mixture of a therapeutically effective amount of
4 gabapentin or a pharmaceutically acceptable salt or hydrate thereof, about 5% to about
5 80% by weight of the tablet of hydroxypropyl methylcellulose having a viscosity of about
6 100 cps to about 100,000 cps, and one or more pharmaceutical excipients with water or a
7 binder solution; and
8 compressing the granules into a tablet,
9 wherein the tablet provides therapeutically effective plasma levels of gabapentin
10 for a period of up to about 12 hours upon administration to a mammal.
- 1 48. A process for the preparation of sustained release tablet of gabapentin, the process
2 comprising:
3 granulating a mixture of a therapeutically effective amount of gabapentin or a
4 pharmaceutically acceptable salt or hydrate thereof, about 5% to about 80% by weight of
5 the tablet of hydroxypropylcellulose having a viscosity of about 7 cps to about 30,000 cps,
6 and one or more pharmaceutical excipients with water or a binder solution; and
7 compressing the granules into a tablet;
8 wherein the tablet provides therapeutically effective plasma levels of gabapentin
9 for a period of up to about 12 hours.
- 1 49. A method of treating a medical condition, the method comprising providing an oral,
2 pharmaceutical sustained release dosage form comprising gabapentin and at least one rate
3 controlling polymer,
4 wherein the sustained release dosage form provides therapeutically effective
5 plasma levels of gabapentin for a period of up to about 12 hours..

- 1 50. The method of treatment according to claim 49, wherein the medical condition comprises
2 epilepsy.
- 1 51. The method of treatment of claim 49, wherein the sustained release tablet is configured to
2 release the gabapentin in the stomach.
- 1 52. The method of treatment of claim 49, wherein the sustained release tablet releases the
2 gabapentin by a combination of diffusion and erosion.
- 1 53. The method of treatment of claim 49, wherein the rate controlling polymer swells to form
2 a polymeric matrix after contact with gastric fluids.